

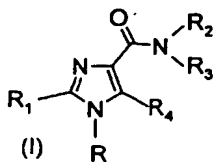
(20)

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
3 April 2003 (03.04.2003)(10) International Publication Number
PCT WO 03/027076 A2

- (51) International Patent Classification⁷: C07D 233/90 (74) Agent: MUIS, Maarten; OCTROOIBUREAU ZOAN B.V., P.O. Box 140, NL-1380 AC Weesp (NL).
- (21) International Application Number: PCT/EP02/10434
- (22) International Filing Date:
17 September 2002 (17.09.2002)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
01203851.9 21 September 2001 (21.09.2001) EP
- (71) Applicant (for all designated States except US): SOLVAY PHARMACEUTICALS B.V. [NL/NL]; C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): KRUSE, Cornelis, G. [NL/NL]; Solvay Pharmaceuticals B.V., c/o C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL). LANGE, Josephus, H.M. [NL/NL]; Solvay Pharmaceuticals B.V., c/o C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL). HERREMANS, Arnoldus, H.J. [NL/NL]; Solvay Pharmaceuticals B.V., c/o C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL). VAN STUIVENBERG, Herman, H. [NL/NL]; Solvay Pharmaceuticals B.V., c/o C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 03/027076 A2

(54) Title: 1H-IMIDAZOLE DERIVATIVES HAVING CB₁ AGONISTIC, CB₁ PARTIAL AGONISTIC OR CB₁-ANTAGONISTIC ACTIVITY

(57) Abstract: Abstract The present invention relates to a group of novel 1H-imidazole derivatives, to methods for the preparation of these compounds, and to pharmaceutical compositions containing one or more of these compounds as an active component. These 1H-imidazole derivatives are potent cannabinoid-CB₁ receptor agonists, partial agonists or antagonists, useful for the treatment of psychiatric and neurological disorders, as well as and other diseases involving cannabinoid neurotransmission. The compounds have the general formula (I) wherein R and R₁-R₄ have the meanings given in the specification.